

(12) **UK Patent Application** (19) **GB** (11) **2 232 354** (13) **A**
(43) Date of A publication 12.12.1990

(21) Application No 9004020.5

(22) Date of filing 22.02.1990

(30) Priority data
(31) 8904541 (32) 28.02.1989 (33) GB

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(51) INT CL⁶
A01N 25/24 25/02

(52) UK CL (Edition K)
A5E ECD ET E300 E301 E305 E307 E311 E317
E326
U1S S1127 S1290 S1312

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(58) Field of search
UK CL (Edition K) A5B BHA BJA BJB, A5E ECD ET
INT CL⁶ A01N
Online databases: CAS ONLINE, WPI; CHEMICAL
ABSTRACTS FORMULA INDEX (1920-1966) &
SUBJECT INDEX (1917-1966)

(54) **Pediculicidal compositions containing piperonal**

(57) A pediculicidal composition contains, as active ingredient, piperonal (I) (which is generally used in solution at a concentration of at least 3%) and an additional component (e.g. a film-forming material) which is capable of holding the piperonal in contact with the host for at least two hours. It is effective against both adult lice and lice eggs and additionally exhibits a strong repellent effect on adult lice.

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PEDICULICIDAL COMPOSITIONS

The present invention relates to pediculicidal compositions and includes a method of controlling louse infestations.

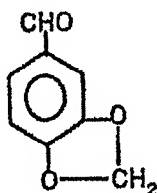
Head lice are a common health problem and spread rapidly in institutions such as the Armed Services and in schools. One of the difficulties in controlling head lice is that the eggs attach themselves very firmly to the base of hairs by adhesive secretions which makes them difficult to remove. Second, the eggs are protected by a waxy cuticle which is not penetrated by currently available anti-lice preparations. Conventional methods of controlling head lice therefore depend on the use of a medicated shampoo or lotion which loosens the natural adhesive or gum which binds the eggs to the hair of the scalp and at the same time is toxic to the hatched and active lice.

Although many of these conventional preparations are satisfactory in some cases if used conscientiously, their success does depend on very thorough repetitive treatments over a long period. They also have the disadvantage that many of the louse repellants which are used have unpleasant smells and this discourages their proper and continuous use over the required period of treatment.

We have now discovered that a compound which is related to benzaldehyde is very effective against louse eggs and also acts as a louse repellant.

In its broadest aspect the invention provides a pediculicidal composition which is effective against adult lice and lice eggs which comprises a solution of piperonal, said solution containing a component which maintains the piperonal in contact with the host for at least 2 hours.

Piperonal is an aromatic aldehyde, and has the formula:-



and its preparation is given in U.S. Patent No. 2916499 and J Org. Chem. 26, 4814 (1961).

In the past, piperonal has been used quite widely as a constituent of perfumes and flavours, at relatively low concentrations, e.g. 50 ppm, giving a sweet floral tone to fragrances.

Piperonal is soluble in alcohols, glycols and some oils.

In the practice of the present invention, it is fairly important that the piperonal is maintained in solution since it is not as effective in its solid state. Although piperonal is soluble in lower alcohols, e.g. ethanol or isopropanol, the alcohol tends to separate leaving crystalline piperonal deposited on the body or hairs of the host. It has been found that a long-lasting repellent action is achieved by incorporating a hydrophilic film-forming component with the composition. Although not fully

established, it is believed that the hydrophilic film-forming component reduces the rate of evaporation of the solvent, thus preventing crystallisation. Whatever the precise mechanism of this advantageous effect, formulations which contain the film-forming component have been shown to exhibit a strong repellent effect towards lice which lasts for up to 6 to 8 hours after the application to the hair.

Solutions of piperonal containing about 1% of the active ingredient are generally not very active. A concentration of at least about 3% is generally desirable and we prefer compositions containing at least 4% of piperonal. There is no critical upper limit but there is usually no advantage in using more than about 10%.

As indicated above, piperonal is soluble in alcohols, glycols and some oils, particularly terpene oils. Ethanol or propanol is conveniently used as the main solvent. However, in order to reduce its rate of evaporation, higher alcohols, glycols, compatible oils and/or water may be included in the formulation. For example, the solvent may comprise 50 to 70% ethanol or propanol. Terpenes are a preferred optional ingredient, particularly if maximum ovicidal properties are desired. It is a property of terpenes that they enhance the penetration by the piperonal of the waxy cuticle of the lice eggs. Another desirable optional component is an aliphatic long chain hydrocarbon, which appears to enhance the wetting of the skin of the lice with the pediculicidal compositions.

The following Examples in which all percentages are by weight give illustrative pediculicidal compositions in accordance with the invention.

The ingredients specified in the following Examples were mixed in the amounts stated to give the corresponding formulations.

Example 1

Piperonal Lotion with terpenes and long chain alkanes

| | |
|--|-----------|
| Piperonal | 5.0% w/w |
| Long chain alkane mixture (Shellsol T) | 5.0% w/w |
| Terpineol | 8.2% w/w |
| d-Limonene | 5.3% w/w |
| iso Propyl Alcohol | 76.5% w/w |

Shellsol T is a complex mixture of long chain alkanes.

Terpineol and d-Limonene are naturally occurring terpenes with fairly high boiling points.

Example 2

Piperonal Lotion with Essential Oil and Copolymeric Resin

| | |
|--|-----------|
| Piperonal | 5.0% w/w |
| Thyme Oil | 0.5% w/w |
| Isopropyl myristate | 2.0% w/w |
| Propylene Glycol | 10.0% w/w |
| Adipic acid copolymer resin (Cartaretin F) | 1.0% w/w |
| iso Propyl Alcohol | 50.0% w/w |
| Water | 31.5% w/w |

Thyme oil is a volatile oil distilled from THYMUS VULGARIS comprises mainly of Thymols and carvacrol. It is a counter-irritant.

Cartaretin F is an Adipic acid/Dimethylamino hydroxy propyl diethylene triamine copolymer. It is a hair fixative.

Example 3

Piperonal Lotion with Soluble Lanolin

| | |
|-------------------------------|-----------|
| Piperonal | 5.0% w/w |
| Soluble Lanolin (Lanexol AWS) | 2.0% w/w |
| Ethanol | 60.0% w/w |
| Water | 33.0% w/w |

Soluble lanolin is a modified lanolin. Lanolin is a complex natural wax comprising of esters and polyesters of long chain fatty acids and fatty alcohols.

Example 4

Piperonal Lotion with PVP/VA Copolymer Resin

| | |
|-------------------------------|-----------|
| Piperonal | 5.0% w/w |
| PVP/VA resin (Kollidon VA 64) | 1.0% w/w |
| Ethanol | 60.0% w/w |
| Water | 34.0% w/w |

PVP/VA is a polyvinyl pyrrolidone/vinyl acetate copolymer resin. It is a water soluble film former.

Example 5

Piperonal Lotion with Polymer Resin

| | |
|-------------------|-----------|
| Piperonal | 5.0% w/w |
| PVP (Kollidon 90) | 1.0% w/w |
| Ethanol | 60.0% w/w |

PVP is a polyvinyl pyrrolidone polymeric resin. It is a water soluble film former.

Example 6

Piperonal Lotion with Quarternized Collagen Protein

| | |
|---|-----------|
| Piperonal | 5.0% w/w |
| Quarternized Collagen Protein (Crotein Q) | 0.5% w/w |
| Ethanol | 60.0% w/w |
| Water | 34.5% w/w |

Quarternized Collagen protein is a quarternary ammonium derivative of hydrolysed collagen protein. It is a water soluble, highly substantive conditioner.

Example 7

Piperonal Lotion with Modified Silicone Fluid

| | |
|---|-----------|
| Piperonal | 5.0% w/w |
| Modified Silicone Fluid (Dow Corning 190) | 1.0% w/w |
| Ethanol | 60.0% w/w |
| Water | 34.0% w/w |

Modified silicone fluid (Dow Corning 190) is a Silicone glycol copolymer. It is a wetting and spreading agent.

Example 8

Piperonal Lotion with Quarternized Cellulose

| | |
|--------------------------------------|-----------|
| Piperonal | 5.0% w/w |
| Quarternized cellulose (CrodaCel QL) | 1.0% w/w |
| Ethanol | 60.0% w/w |
| Water | 34.0% w/w |

Quarternized cellulose derivatives are produced by quarternization of hydroalkyl celluloses with a range of alkyl groups. They are conditioning aids.

Other pediculicidal compounds may be included in the compositions of this invention, e.g. malathion or carbaryl sevin, although this is not essential. It is believed that piperonal acts by penetrating the waxy cuticle of the louse eggs and inactivating them and thus does not depend on the dislodging of the eggs from hair strands as do many conventional anti-louse preparations.

In the treatment of head lice the piperonal containing formulation is applied to the hair and left in contact for periods between two to twelve hours. Other additives may be included in the preparation, e.g. surfactants to increase the wetting of the hair and ingredients to depress the rate of evaporation of the solution.

The compositions of the present invention have several advantages over conventional anti-lice compositions. In addition to their effectiveness in killing adult lice and lice eggs, they exhibit superior repellent activity against adult lice. Moreover, because piperonal has a pleasant smell and is approved by government licensing authorities as a food additive, there is no danger of any health risk in using the compositions nor any antipathy among infected persons against acceptance of treatment.

While the invention has been described with particular reference to combating head lice infestations, the compositions of the invention are also effective in the same way against other lice, e.g. crab lice, clothing lice and body lice.

CLAIMS:

1. A pediculicidal composition which is effective against adult lice and lice eggs which comprises a solution of piperonal, said solution containing a component which maintains the piperonal in contact with the host for at least 2 hours.
2. A composition according to claim 1 which contains at least 3% by weight of piperonal.
3. A composition according to claim 2 which contains from about 4 to about 10% by weight of piperonal.
4. A pediculicidal composition for controlling head lice which comprises a solution of piperonal and a film-forming component which is substantive to the hair of the host.
5. A composition according to claim 4 in which the film-forming component is a hydrophilic polymer.
6. A composition according to claim 5 in which the film-forming component is selected from a vinyl pyrrolidone polymer, a vinyl acetate polymer, a quarternized collagen, a quarternized cellulose derivative or a polymer containing hydroxy and amino groups.
7. A composition according to claim 5 or 6 in which the hydrophilic film-former is present in an amount of from about 0.3 to 3% by weight.
8. User of a piperonal solution containing at least about 4% by weight of piperonal for killing human lice, their eggs and nymphs and as a lice repellent.

9. A method of controlling head lice which comprises applying to the hair of the host a composition comprising a solution of piperonal in an alcohol and maintaining the composition in solution in contact with the hair for a period of at least two hours.

10. A method according to claim 9 which additionally contains a terpene, the terpene serving to enhance the penetration of the composition into lice eggs.